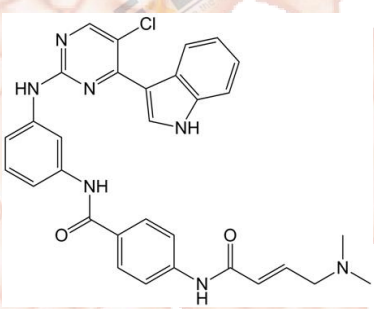
Product Data Sheet

THZ1

Cat. No.: A8882
CAS No.: 1604810-83-4
Formula: C31H28ClN7O2
M.Wt: 566.05
Synonyms:
Target: Cell Cycle/Checkpoint
Pathway: Cyclin-Dependent Kinases
Storage: Store at -20°C



Solvent & Solubility

In Vitro

≥28.3 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7666 mL	8.8331 mL	17.6663 mL
5 mM	0.3533 mL	1.7666 mL	3.5333 mL
10 mM	0.1767 mL	0.8833 mL	1.7666 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Covalent CDK7 inhibitor,potent and selective
IC_{50} & Target	3.2 nM (CDK7)

In Vitro

Cell Viability Assay

Cell Line:	Jurkat and Loucy T-ALL cell lines
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	72 hours IC50: 50 nM (for Jurkat cells), 0.55 nM (for Loucy T-ALL cells)

	Applications:	As a CDK7 inhibitor, THZ1 potently inhibited proliferation of Jurkat and Loucy T-ALL cell lines with IC50 values of 50 nM and 0.55 nM, respectively.
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing KOPTK1 xenografts
	Dosage form:	10 mg/kg, twice daily for 29 days
	Applications:	THZ1 exhibited efficacy in a bioluminescent xenografted mouse model using the human T-ALL cell-line KOPTK1 when dosed twice daily at 10mg/kg. THZ1waswell tolerated at these doseswith no observable body weight loss or behavioural changes, suggesting that it caused no overt toxicity in the animals.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Seoane M, Buhs S, et al. "Lineage-specific control of TFIIH by MITF determines transcriptional homeostasis and DNA repair." Oncogene. 2019 Jan 16.PMID:30651597

2. Landsverk HB, Sandquist LE, et al. "Regulation of ATR activity via the RNA polymerase II associated factors CDC73 and PNUTS-PP1." Nucleic Acids Res. 2018 Dec 12.PMID:30541148

3. Lin L, Huang M, et al. "Super-enhancer-associated MEIS1 promotes transcriptional dysregulation in Ewing sarcoma in co-operation with EWS-FLI1." Nucleic Acids Res. 2018 Nov 28.PMID:30496486

4. Zanconato F, Battilana G, et al. "Transcriptional addiction in cancer cells is mediated by YAP/TAZ through BRD4." Nat Med. 2018 Oct;24(10):1599-1610.PMID:30224758

5. Chen D, Zhao Z, et al. "Super enhancer inhibitors suppress MYC driven transcriptional amplification and tumor progression in osteosarcoma." Bone Res. 2018 Apr 4;6:11.PMID:29644114

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References

[1] Kwiatkowski N, Zhang T, Rahl P B, et al. Targeting transcription regulation in cancer with a covalent CDK7 inhibitor. Nature, 2014, 511(7511): 616-620.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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